Regio- and Stereoselective Iodobromination of Internal Alkynes for Synthesis of (E)-1-Bromo-2-iodoalkenes

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Abstract : Tetrasubstituted olefins bearing four different carbon-linked groups have played an important role in organic chemistry. Despite the significance of the differentially all-carbon tetrasubstituted alkenes, their synthetic availability remains a challenge owing to difficulty in geometrically defined olefin synthesis. Herein we present one-step synthesis of (E)-1-bromo-2-iodoalkenes from internal alkynes through IBr addition. The IBr was generated in situ from commercially available TMSBr and NIS. This simple protocol enables highly efficient regio- and stereoselective iodobromination of the triple bond on a gram scale in anti-mode.

四置換アルケンのテンプレート合成を指向した位置及び立体選択的ヨードブロモ化の開発

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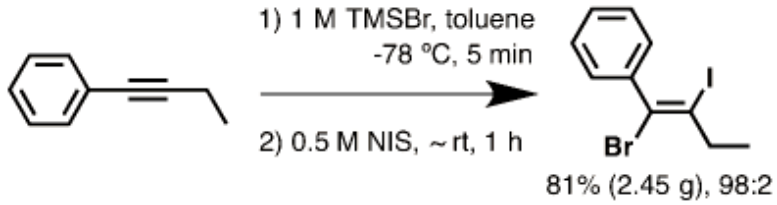
今回我々は、市販の単純脂肪族内部アルキンを用いて、極めて高い選択性で望みとするジハロゲン化体をグラムスケールで得る合成法の開発に成功した。



Scheme 1. Regio- and stereoselective iodobromination of simple internal alkynes.